

### IN THE CLAIMS

Please replace claims 1-4 and 29 with amended claims 1-4 and 29, respectively.\*

### REMARKS

Applicants have amended claims 1-4 to exclude non-elected subject matter, i.e., compounds wherein n is 0, in response to the Examiner's restriction requirement. Consistent with these amendments, applicants have amended claim 1 to exclude alternative embodiments of radical A when n is 0 and claims 1 and 3 to delete a proviso when n is 0. To more particularly define the present invention, applicants have amended claims 1-4 to recite a proper Markush group for the alternative definition of radical A' when m is 0.

Applicants have amended claim 29 to recite " $R^{100}$ ,  $R^{101}$ , and  $R^{102}$ " instead of " $R$ ,  $R^1$ , and  $R^2$ " in the table heading. The recitation of " $R$ ,  $R^1$ , and  $R^2$ " was an inadvertent error. It would be apparent to one of ordinary skill in the art to recognize that " $R^{100}$ ,  $R^{101}$ , and  $R^{102}$ " were intended, since formula (I) recites " $R^{100}$ ,  $R^{101}$ , and  $R^{102}$ " rather than " $R$ ,  $R^1$ , and  $R^2$ ". Support for this amendment is also found on page 28, Table II.

None of the above amendments adds any new subject matter.

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\* Amended claims 1-4 and 29 are enclosed at Exhibit 1. An "Appendix of Amendments" is enclosed at Exhibit 2, showing the amendments to claims 1-4 and 29. In the Appendix, the added portion is underscored and the deleted portion is bracketed.

The Restriction Requirement

The Examiner has required restriction of the claims of this application under 35 U.S.C. § 121 into one of the following Groups:

- Group I: Claims 1-15, 27 and 28, drawn to compounds limited to genus G1, wherein genus G1 is defined as those compounds in which R is  $-N(B)(X)_n-A$  and n is 1.
- Group II: Claims 1-4, 8-12 and 15, drawn to compounds limited to genus G2, wherein genus G2 is defined as those compounds in which R is  $-N(B)(X)_n-A$  and n is 0.
- Group III: Claims 16-26, drawn to a method of using the Group I compounds.
- Group IV: Claims 16-26, drawn to a method of using the Group II compounds.

The Examiner has also stated that claims 29-30 will be grouped appropriately if variables  $R^{100}$ ,  $R^{101}$  and  $R^{102}$  become defined.

Pursuant to 37 C.F.R. § 1.143, applicants provisionally elect with traverse the claims of Group I (claims 1-15, 27 and 28) for initial substantive examination. This election is made expressly without waiver of their rights to file for and obtain claims directed to the unelected subject matter in either this application or in divisional or continuing applications claiming priority and benefit herefrom under 35 U.S.C. § 120.

As stated above, applicants have amended claim 29 to properly define variables  $R^{100}$ ,  $R^{101}$  and  $R^{102}$ . Applicants believe that claims 29-30 should now be

included in Group I. Accordingly, applicants request that the Examiner reform Group I to include claims 29-30.

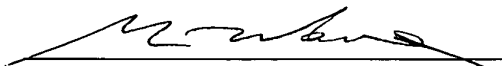
As acknowledged by the Examiner, applicants may request rejoinder of claims 16-26 with the elected group if and when any of claims 1-15 and 27-30 are found allowable. Accordingly, applicants have not canceled these claims.

The Requirement for an Election of Species

The Examiner states that an election of species is required under 35 U.S.C. § 121. Applicants elect the compound represented as Compound Number 120 in Table I, on page 27. Claims 1, 5-7 and 10-15 read on the elected species.

Should the Examiner feel that a telephone conference with applicants' representatives would assist the Examiner, he is invited to telephone the undersigned at anytime. Applicants request favorable consideration of the application and early allowance of the pending claims.

Respectfully submitted,

  
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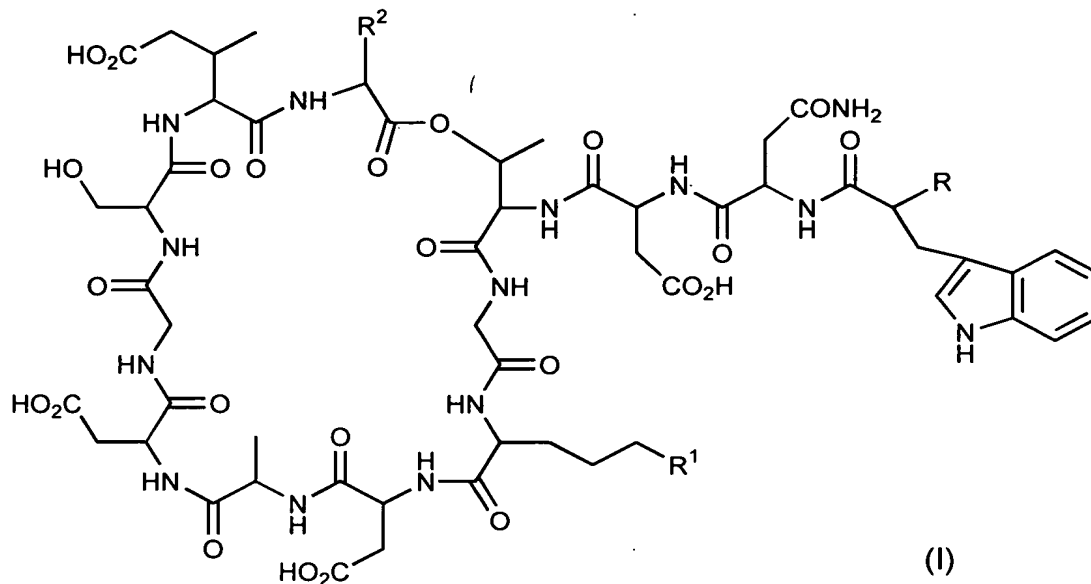
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AMENDMENTS

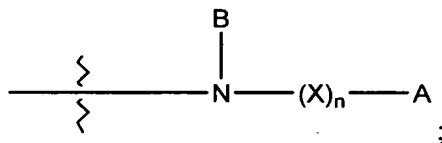
IN THE CLAIMS

1. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and X'' are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is 1;

wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is X''R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

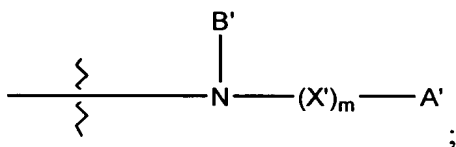
wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is H, NH<sub>2</sub>, NHR<sup>A</sup>, NR<sup>A</sup>R<sup>B</sup>, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A</sup> and R<sup>B</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

provided that when B is H and X is C=O, then A is other than  
 (a) a pyridinyl ring substituted with a single NHC(O)R<sup>D</sup> substituent or  
 (b) a (C<sub>5</sub>-C<sub>6</sub>) saturated cycloalkyl ring substituted with a single NHC(O)R<sup>D</sup>  
 substituent, wherein R<sup>D</sup> is (C<sub>1</sub>-C<sub>17</sub>) unsubstituted alkyl or (C<sub>2</sub>-C<sub>17</sub>) unsubstituted alkenyl;

wherein R<sup>1</sup> is



wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>,  
 S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl,  
 heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

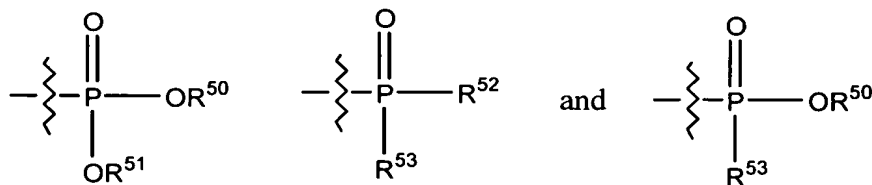
wherein B' is X'''R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or  
 heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl,  
 cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy,  
 aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl,  
 heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

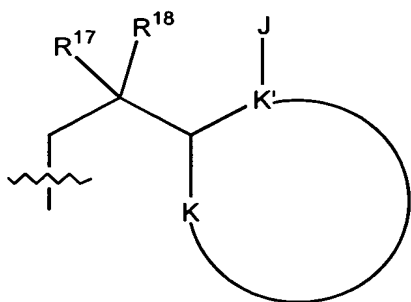
wherein when m is 0, then A' is additionally selected from the group consisting  
 of:



wherein each of R<sup>50</sup>-R<sup>53</sup> is independently selected from C<sub>1</sub>-C<sub>15</sub> alkyl;

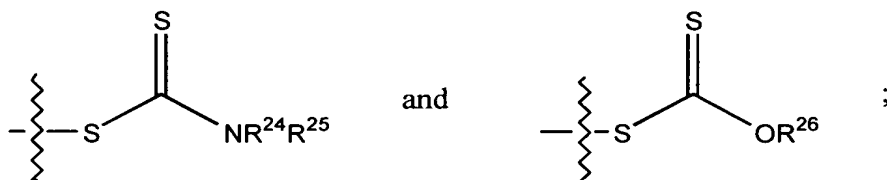
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or  
 heteroaryl ring;

wherein R<sup>2</sup> is



wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR<sup>J</sup>, NR<sup>J</sup>R<sup>K</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



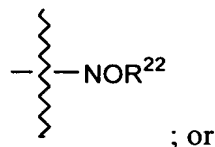
wherein each of R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R<sup>24</sup> and R<sup>25</sup> together form a 5-8 membered heterocyclyl ring;

wherein R<sup>J</sup> and R<sup>K</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

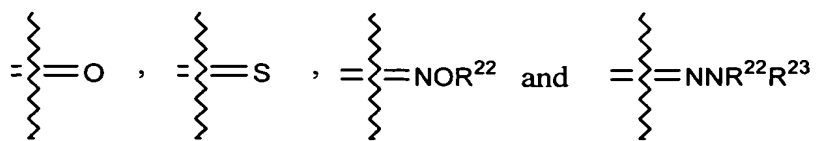
alternatively, wherein J, together with R<sup>17</sup>, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R<sup>17</sup> and R<sup>18</sup>, forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R<sup>17</sup> and R<sup>18</sup> is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

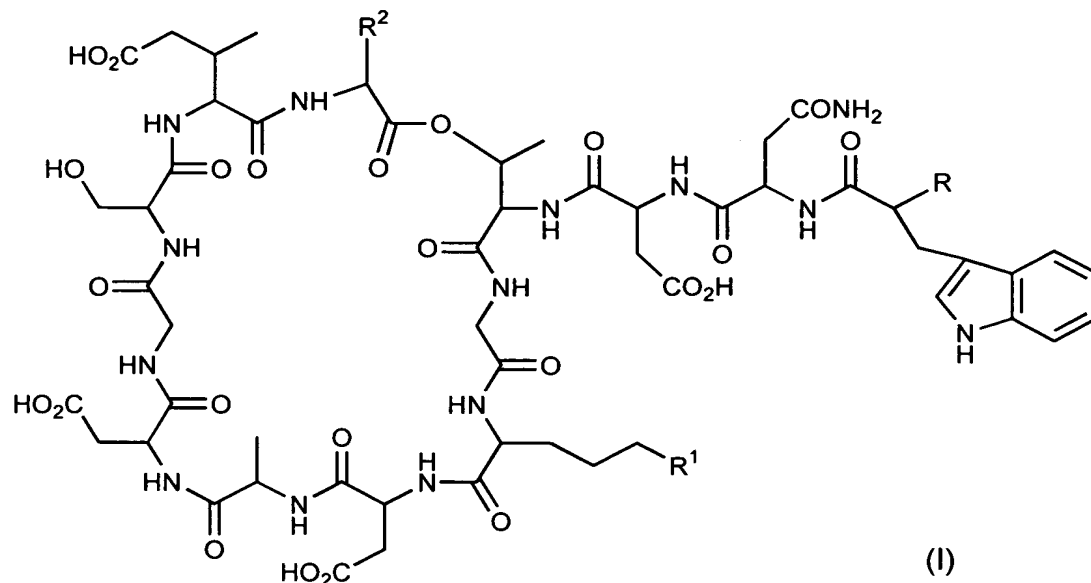


wherein R<sup>17</sup> and R<sup>18</sup> taken together can form a group consisting of ketal, thioketal,



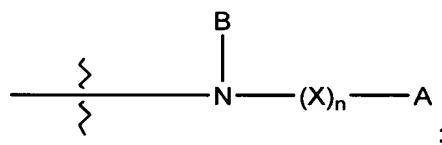
wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting of hydrido and alkyl.

2. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and X'' are independently selected from C=O, C=S, C=NH, C=NR<sup>x</sup>, S=O or SO<sub>2</sub>;

wherein n is 1;

wherein R<sup>x</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is X''R<sup>y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

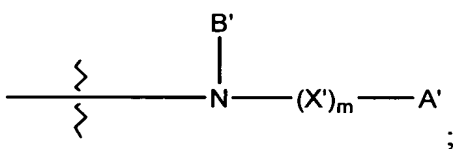
wherein A is aryl;

provided that when B is H and X is C=O, then A is other than a phenyl ring substituted with either:

(a)  $-O-((C_8-C_{15}) \text{ unsubstituted alkyl})$ , wherein said phenyl ring may be further optionally substituted with one substituent selected from halo, nitro,  $(C_1-C_3)$  alkyl, hydroxyl,  $(C_1-C_3)$  alkoxy or  $(C_1-C_3)$  alkylthio; or

(b)  $-NHC(O)R^D$ , wherein the phenyl ring may be further optionally substituted with 1-2 substituents independently selected from amino, nitro,  $(C_1-C_3)$  alkyl, hydroxyl,  $(C_1-C_3)$  alkoxy, halo, mercapto,  $(C_1-C_3)$  alkylthio, carbamyl or  $(C_1-C_3)$  alkylcarbamyl, wherein  $R^D$  is  $(C_1-C_{17})$  unsubstituted alkyl or  $(C_2-C_{17})$  unsubstituted alkenyl;

wherein  $R^1$  is



wherein  $X'$  and  $X'''$  are independently selected from  $C=O$ ,  $C=S$ ,  $C=NH$ ,  $C=NR^{X'}$ ,  $S=O$  or  $SO_2$ ;

wherein  $m$  is 0 or 1;

wherein  $R^{X'}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

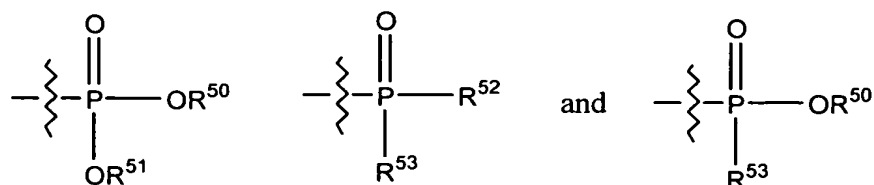
wherein  $B'$  is  $X'''R^{Y'}$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{Y'}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $A'$  is H,  $NH_2$ ,  $NHR^{A'}$ ,  $NR^{A'}R^{B'}$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{A'}$  and  $R^{B'}$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when  $m$  is 0, then  $A'$  is additionally selected from the group consisting of:

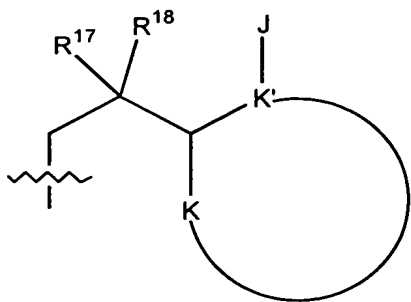


wherein each of  $R^{50}$ - $R^{53}$  is independently selected from  $C_1$ - $C_{15}$  alkyl;

alternatively, wherein  $B'$  and  $A'$  together form a 5-7 membered heterocyclic or heteroaryl ring;

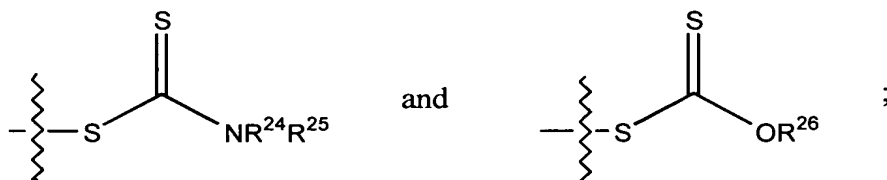
wherein  $R^2$  is





wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR<sup>J</sup>, NR<sup>J</sup>R<sup>K</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



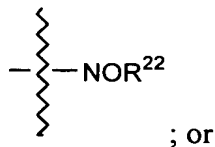
wherein each of R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R<sup>24</sup> and R<sup>25</sup> together form a 5-8 membered heterocyclyl ring;

wherein R<sup>J</sup> and R<sup>K</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

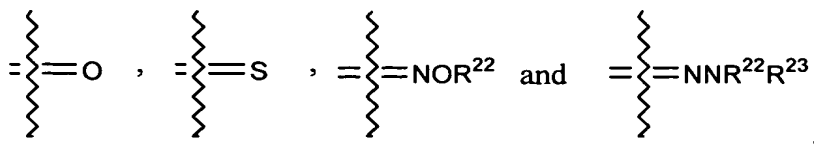
alternatively, wherein J, together with R<sup>17</sup>, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R<sup>17</sup> and R<sup>18</sup>, forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R<sup>17</sup> and R<sup>18</sup> is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

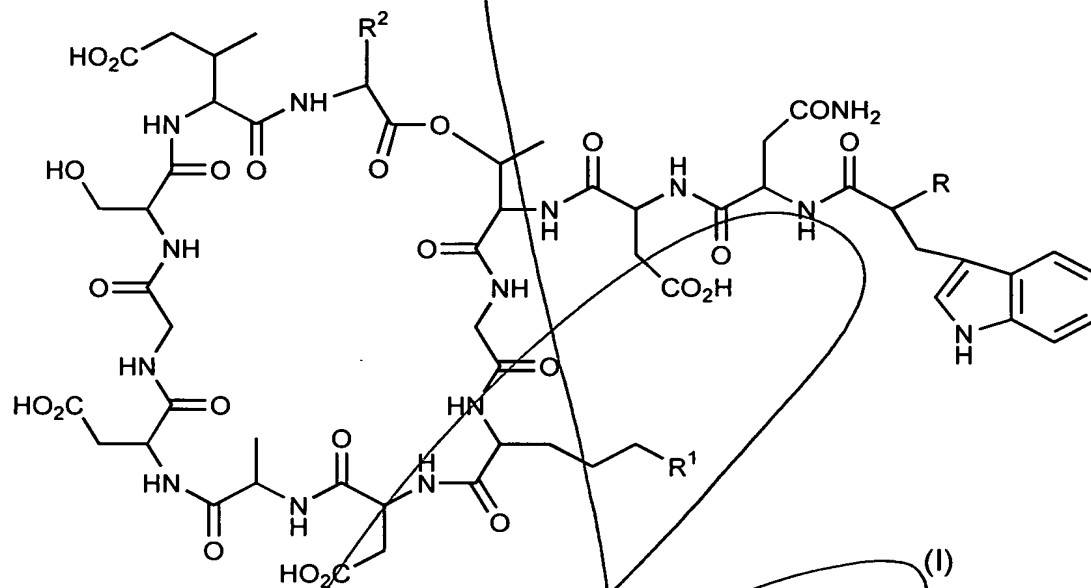


wherein R<sup>17</sup> and R<sup>18</sup> taken together can form a group consisting of ketal, thioketal,



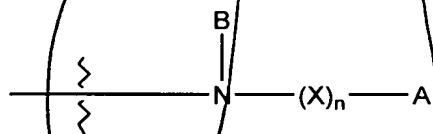
wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting of hydrido and alkyl.

3. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein  $X$  and  $X''$  are independently selected from  $C=O$ ,  $C=S$ ,  $C=NH$ ,  $C=NR^X$ ,  $S=O$  or  $SO_2$ ;

wherein  $n$  is 1;

wherein  $R^X$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein  $B$  is  $X''R^Y$ ,  $H$ , alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

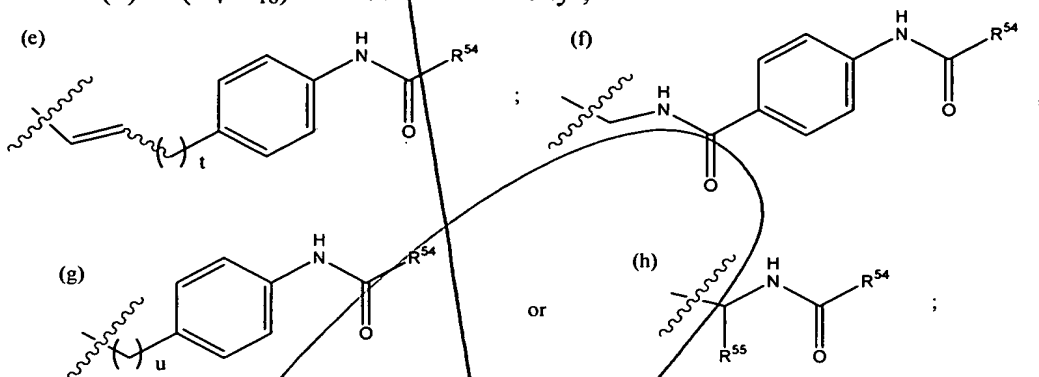
wherein  $R^Y$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $A$  is alkyl, alkenyl, alkynyl, alkoxy or aryloxy;

provided that when  $B$  is  $H$  and  $X$  is  $C=O$ , then  $A$  is other than

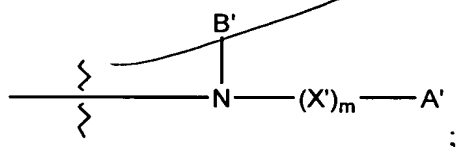
(a)  $-(C_1-C_{16} \text{ unsubstituted alkyl})-NH_2$ ;

- (b)  $-(C_1-C_{10} \text{ unsubstituted alkyl})-NHC(O)R^D$ , wherein  $R^D$  is  $(C_1-C_{17})$  unsubstituted alkyl or  $(C_2-C_{17})$  unsubstituted alkenyl;
- (c)  $-(C_1-C_{18})\text{-alkyl}$ , optionally substituted with up to one hydroxyl, carboxyl, or  $C_1-C_3$  alkoxy, or one to three halo substituents;
- (d)  $-(C_4-C_{18})\text{-unsubstituted alkenyl}$ ;



wherein  $R^{54}$  is selected from  $C_1-C_{17}$ -unsubstituted alkyl or  $C_2-C_{17}$ -unsubstituted alkenyl; wherein  $R^{55}$  is selected from hydroxyethyl, hydroxymethyl, mercaptomethyl, mercaptoethyl, methylthioethyl, 2-thienyl, 3-indolemethyl, phenyl optionally substituted with a group selected from halo, nitro,  $C_1-C_3$ -unsubstituted alkyl, hydroxy,  $C_1-C_3$ -unsubstituted alkoxy,  $C_1-C_3$ -unsubstituted alkylthio, carbamyl or  $C_1-C_3$  unsubstituted alkylcarbamyl; or benzyl optionally substituted with a group selected from halo, nitro,  $C_1-C_3$ -unsubstituted alkyl, hydroxy,  $C_1-C_3$ -unsubstituted alkoxy,  $C_1-C_3$ -unsubstituted alkylthio, carbamyl or  $C_1-C_3$  unsubstituted alkylcarbamyl; wherein  $t$  is 0 or 1 and wherein  $u$  is an integer from 1-3; and when  $B$  is H and  $X$  is  $C=O$ , then  $X$ , together with  $A$ , does not form a carbamate amino protecting group;

wherein  $R^1$  is



wherein  $X'$  and  $X'''$  are independently selected from  $C=O$ ,  $C=S$ ,  $C=NH$ ,  $C=NR^{X'}$ ,  $S=O$  or  $SO_2$ ;

wherein  $m$  is 0 or 1;

wherein  $R^{X'}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

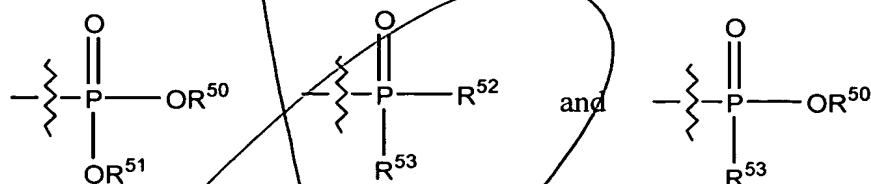
wherein  $B'$  is  $X'''R^Y$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{Y'}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $A'$  is H,  $NH_2$ ,  $NHR^{A'}$ ,  $NR^{A'}R^{B'}$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^{A'}$  and  $R^{B'}$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

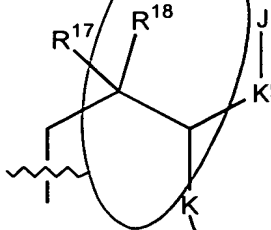
wherein when m is 0, then  $A'$  is additionally selected from the group consisting of:



wherein each of  $R^{50}$ - $R^{53}$  is independently selected from  $C_1$ - $C_{15}$  alkyl;

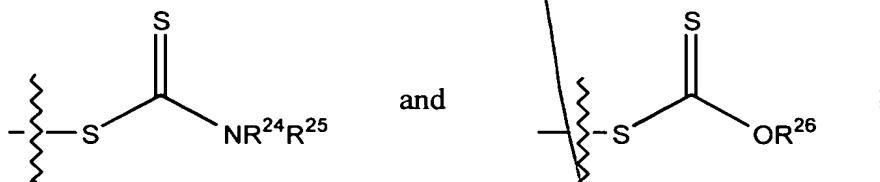
alternatively, wherein  $B'$  and  $A'$  together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein  $R^2$  is



wherein K and K' together form a  $C_3$ - $C_7$  cycloalkyl or heterocyclyl ring or a  $C_5$ - $C_{10}$  aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino,  $NHR^J$ ,  $NR^J R^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



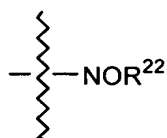
wherein each of  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $R^{24}$  and  $R^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $R^J$  and  $R^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with  $R^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

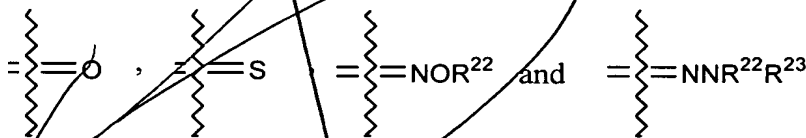
alternatively, wherein J, together with both  $R^{17}$  and  $R^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $R^{17}$  and  $R^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



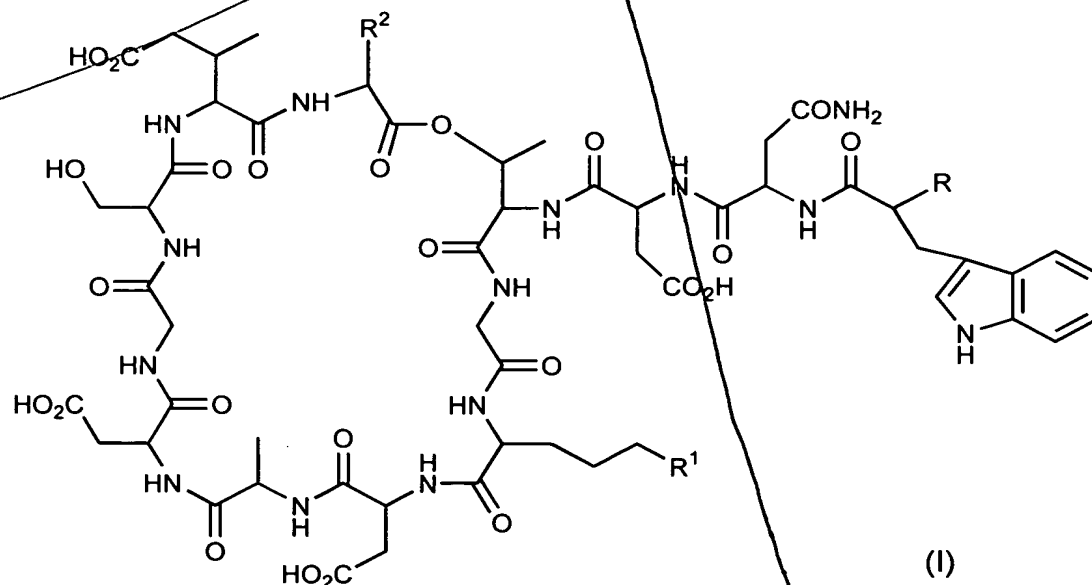
; or

wherein  $R^{17}$  and  $R^{18}$  taken together can form a group consisting of ketal, thioketal,



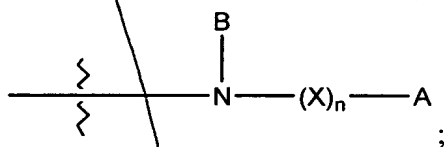
wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting of hydrido and alkyl.

4. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and X'' are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is 1;

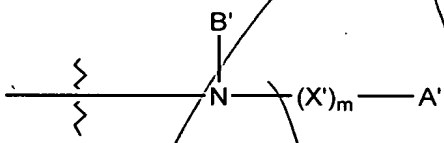
wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is X''R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein B and A together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R<sup>1</sup> is



wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>, S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

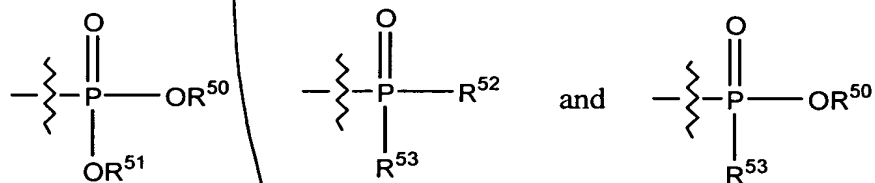
wherein B' is X'''R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

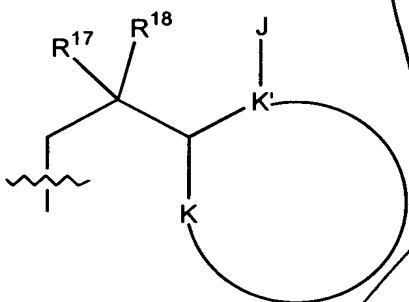
wherein when m is 0, then A' is additionally selected from the group consisting of:



wherein each of  $\text{R}^{50}$ ,  $\text{R}^{53}$  is independently selected from  $\text{C}_1$ - $\text{C}_{15}$  alkyl;

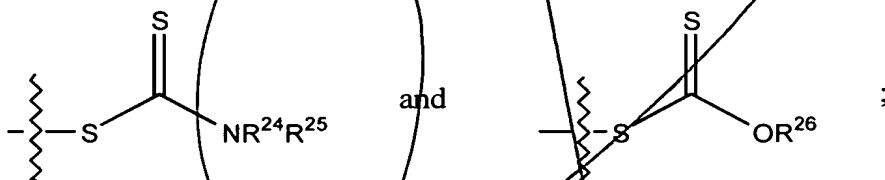
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein  $\text{R}^2$  is



wherein K and K' together form a  $\text{C}_3$ - $\text{C}_7$  cycloalkyl or heterocyclyl ring or a  $\text{C}_5$ - $\text{C}_{10}$  aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino,  $\text{NHR}^J$ ,  $\text{NR}^J\text{R}^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



wherein each of  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $\text{R}^{24}$  and  $\text{R}^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $\text{R}^J$  and  $\text{R}^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

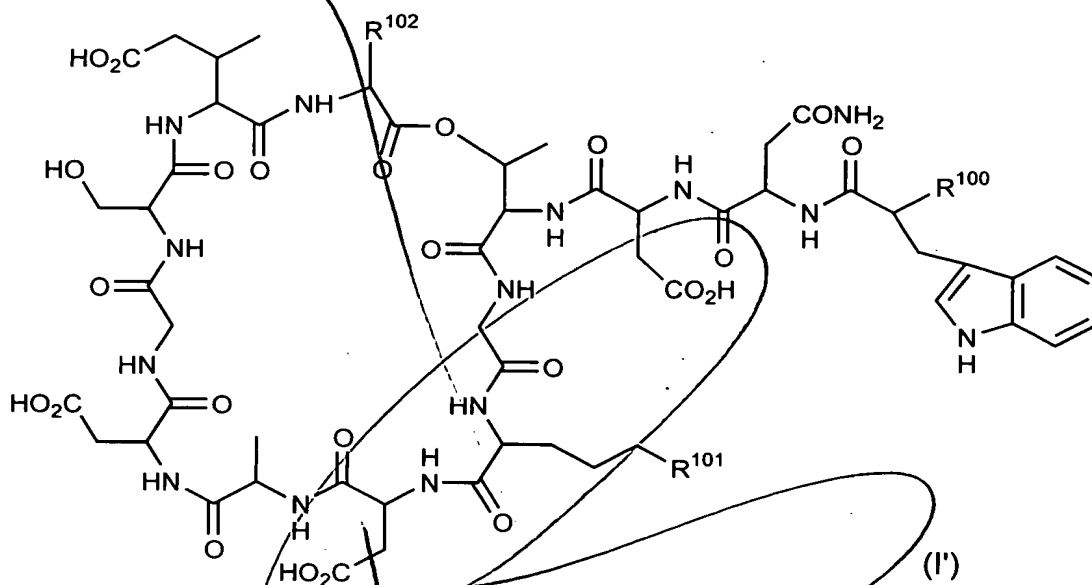
alternatively, wherein J, together with  $\text{R}^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both  $\text{R}^{17}$  and  $\text{R}^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $\text{R}^{17}$  and  $\text{R}^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

wherein each of R<sup>22</sup> and R<sup>23</sup> is independently selected from the group consisting of hydrido and alkyl.

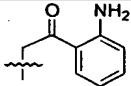
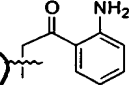
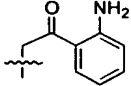
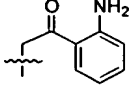
29. (Amended) A compound having the formula (I'):



and salts thereof; wherein  $R^{100}$ ,  $R^{101}$  and  $R^{102}$  are selected from:

Cpd #	R <sup>100</sup>	R <sup>101</sup>	R <sup>102</sup>
72		NHBoc	
73		NHBoc	
74		NHBoc	



109	$\text{NHCOCHCH}(\text{CH}_2)_7\text{CH}_3$	NHBoc	
110	$\text{NHCOCHCH}(\text{CH}_2)_9\text{CH}_3$	NHBoc	
111	$\text{NHCOCHCH}(\text{CH}_2)_7\text{CH}_3$	NH <sub>2</sub>	
112	$\text{NHCOCHCH}(\text{CH}_2)_9\text{CH}_3$	NH <sub>2</sub>	

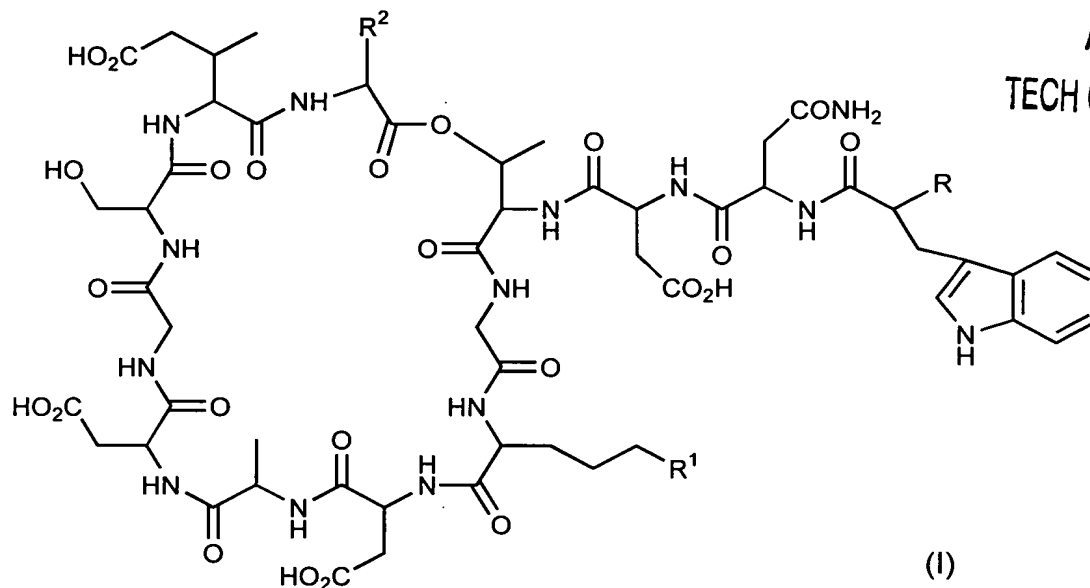


CUB-4

APPENDIX OF AMENDMENTS

IN THE CLAIMS

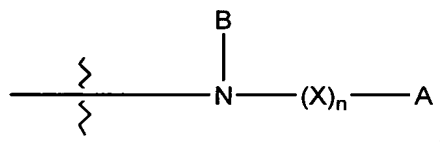
1. (Amended) A compound having the formula (I):



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and salts thereof;

wherein R is:



wherein X and X'' are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>, S=O or SO<sub>2</sub>;

wherein n is [0 or] 1;

wherein R<sup>X</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

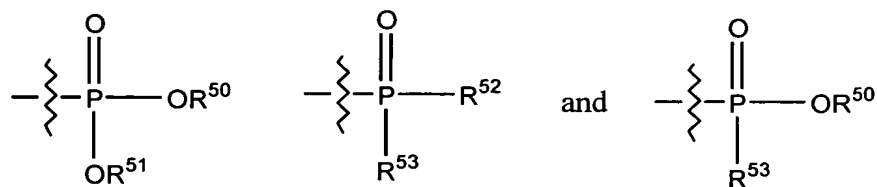
wherein B is X''R<sup>Y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R<sup>Y</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is H, NH<sub>2</sub>, NHR<sup>A</sup>, NR<sup>A</sup>R<sup>B</sup>, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A</sup> and R<sup>B</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

[wherein when n is 0, then A is additionally selected from:



wherein each  $\text{R}^{50}$ - $\text{R}^{53}$  is independently selected from  $(\text{C}_1\text{-C}_{15})$  alkyl;]

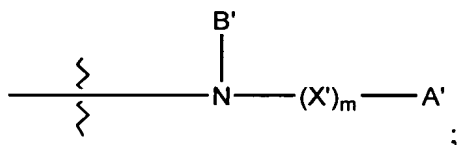
provided that when B is H and X is  $\text{C=O}$ , then A is other than

(a) a pyridinyl ring substituted with a single  $\text{NHC(O)R}^{\text{D}}$  substituent or

(b) a  $(\text{C}_5\text{-C}_6)$  saturated cycloalkyl ring substituted with a single  $\text{NHC(O)R}^{\text{D}}$

substituent, wherein  $\text{R}^{\text{D}}$  is  $(\text{C}_1\text{-C}_{17})$  unsubstituted alkyl or  $(\text{C}_2\text{-C}_{17})$  unsubstituted alkenyl; [and when B is H and n is 0, then A is not H;]

wherein  $\text{R}^1$  is



wherein  $\text{X}'$  and  $\text{X}'''$  are independently selected from  $\text{C=O}$ ,  $\text{C=S}$ ,  $\text{C=NH}$ ,  $\text{C=NR}^{\text{X}'}$ ,  $\text{S=O}$  or  $\text{SO}_2$ ;

wherein m is 0 or 1;

wherein  $\text{R}^{\text{X}'}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

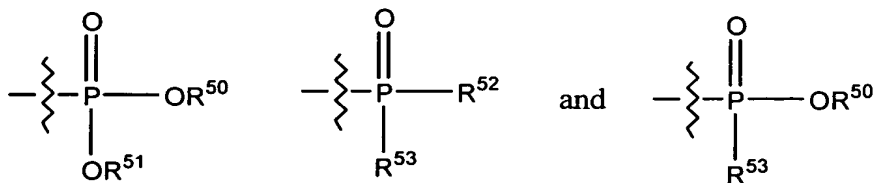
wherein  $\text{B}'$  is  $\text{X}'''\text{R}^{\text{Y}'}$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $\text{R}^{\text{Y}'}$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein  $\text{A}'$  is H,  $\text{NH}_2$ ,  $\text{NHR}^{\text{A}'}$ ,  $\text{NR}^{\text{A}'}\text{R}^{\text{B}'}$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

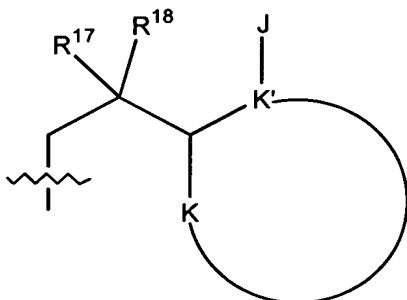
wherein  $\text{R}^{\text{A}'}$  and  $\text{R}^{\text{B}'}$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when m is 0, then  $\text{A}'$  is additionally selected from the group consisting of:



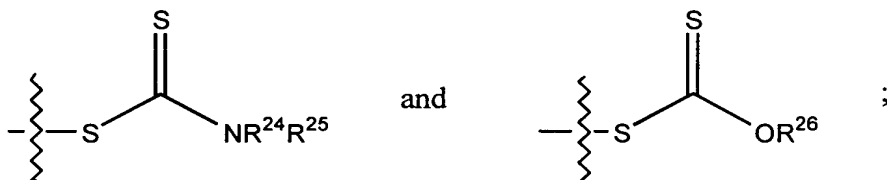
wherein each of  $R^{50}$ - $R^{53}$  is independently selected from  $C_1$ - $C_{15}$  alkyl;  
 alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein  $R^2$  is



wherein K and K' together form a  $C_3$ - $C_7$  cycloalkyl or heterocyclyl ring or a  $C_5$ - $C_{10}$  aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino,  $NHR^J$ ,  $NR^J R^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



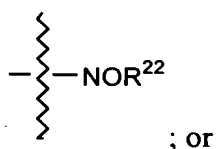
wherein each of  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $R^{24}$  and  $R^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $R^J$  and  $R^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

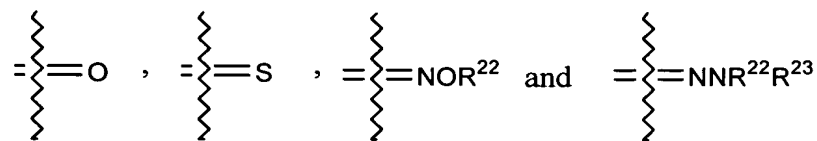
alternatively, wherein J, together with  $R^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both  $R^{17}$  and  $R^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $R^{17}$  and  $R^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

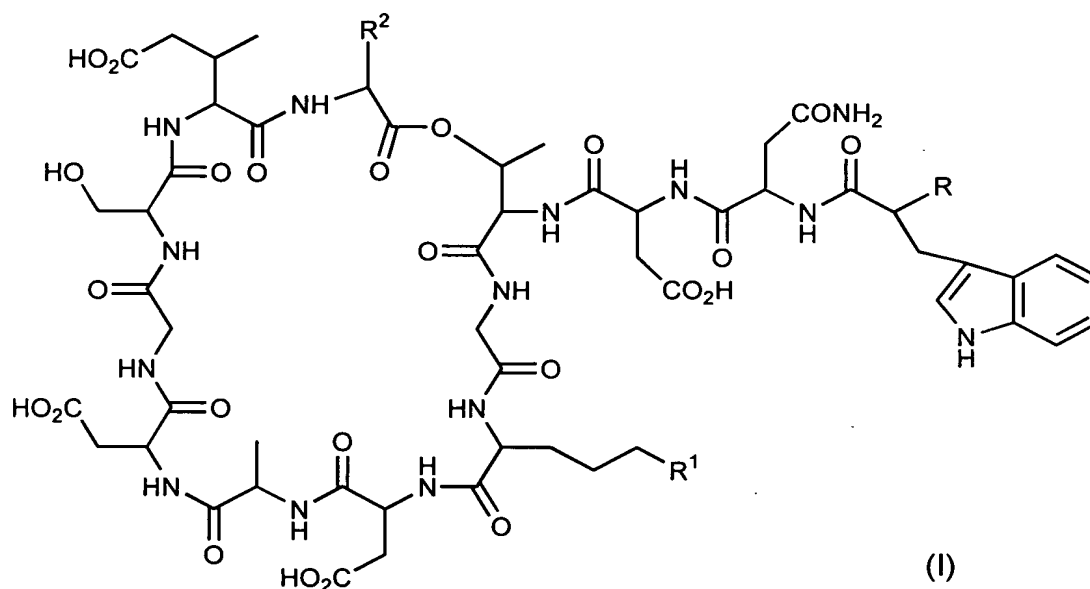


wherein R<sup>17</sup> and R<sup>18</sup> taken together can form a group consisting of ketal, thioketal,



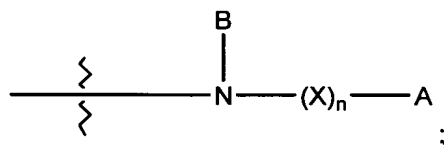
wherein each of R<sup>22</sup> and R<sup>23</sup> is independently selected from the group consisting of hydrido and alkyl.

2. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and X'' are independently selected from C=O, C=S, C=NH, C=NR<sup>x</sup>, S=O or SO<sub>2</sub>;

wherein n is [0 or] 1;

wherein R<sup>x</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is X''R<sup>y</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein  $R^Y$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

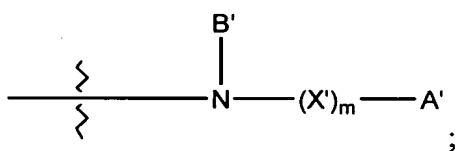
wherein A is aryl;

provided that when B is H and X is C=O, then A is other than a phenyl ring substituted with either:

(a)  $-O-((C_8-C_{15}) \text{ unsubstituted alkyl})$ , wherein said phenyl ring may be further optionally substituted with one substituent selected from halo, nitro,  $(C_1-C_3)$  alkyl, hydroxyl,  $(C_1-C_3)$  alkoxy or  $(C_1-C_3)$  alkylthio; or

(b)  $-NHC(O)R^D$ , wherein the phenyl ring may be further optionally substituted with 1-2 substituents independently selected from amino, nitro,  $(C_1-C_3)$  alkyl, hydroxyl,  $(C_1-C_3)$  alkoxy, halo, mercapto,  $(C_1-C_3)$  alkylthio, carbamyl or  $(C_1-C_3)$  alkylcarbamyl, wherein  $R^D$  is  $(C_1-C_{17})$  unsubstituted alkyl or  $(C_2-C_{17})$  unsubstituted alkenyl;

wherein  $R^1$  is



wherein  $X'$  and  $X'''$  are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>, S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein  $R^{X'}$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

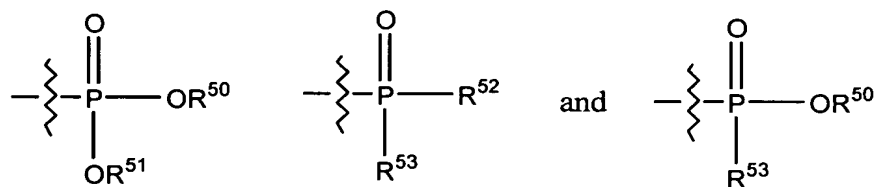
wherein B' is  $X'''R^Y$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein  $R^Y$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

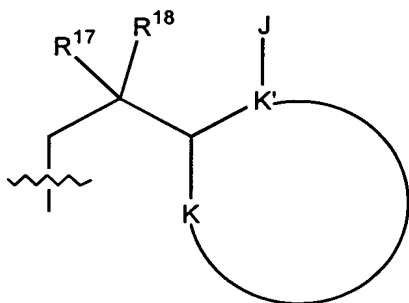
wherein when m is 0, then A' is additionally selected from the group consisting of:



wherein each of  $\text{R}^{50}$ - $\text{R}^{53}$  is independently selected from  $\text{C}_1$ - $\text{C}_{15}$  alkyl;

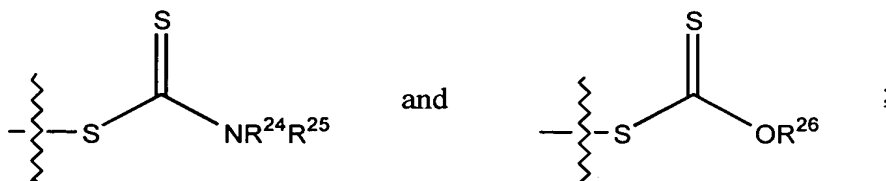
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein  $\text{R}^2$  is



wherein K and K' together form a  $\text{C}_3$ - $\text{C}_7$  cycloalkyl or heterocyclyl ring or a  $\text{C}_5$ - $\text{C}_{10}$  aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino,  $\text{NHR}^J$ ,  $\text{NR}^J\text{R}^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



wherein each of  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $\text{R}^{24}$  and  $\text{R}^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $\text{R}^J$  and  $\text{R}^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with  $\text{R}^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both  $\text{R}^{17}$  and  $\text{R}^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $\text{R}^{17}$  and  $\text{R}^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



wherein R<sup>17</sup> and R<sup>18</sup> taken together can form a group consisting of ketal,

[illegible]

wherein each of  $R^{22}$  and  $R^{23}$  is independently selected from the group consisting

3. (Amended) A compound having the formula (I):



and salts thereof;

wherein R is:



wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR<sup>X</sup>,

wherein n is [0 or] 1;



wherein  $R^X$  is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

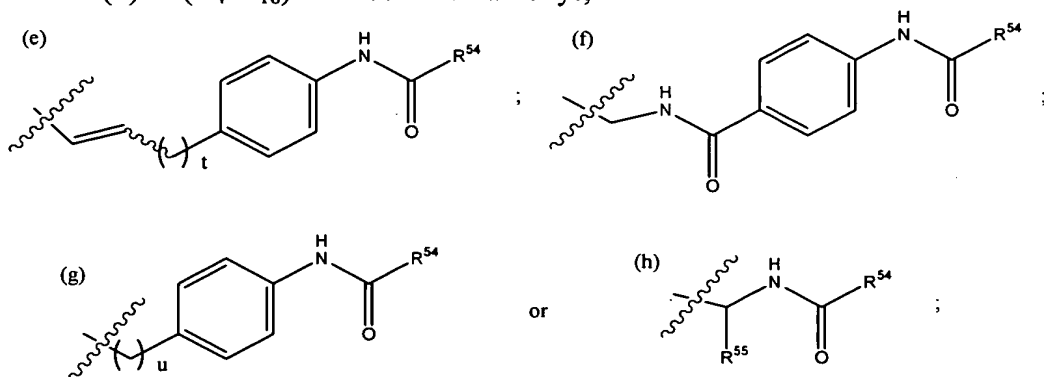
wherein B is  $X^Y$ , H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein  $R^Y$  is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is alkyl, alkenyl, alkynyl, alkoxy or aryloxy;

provided that when B is H and X is C=O, then A is other than

- (a)  $-(C_1-C_{16} \text{ unsubstituted alkyl})-NH_2$ ;
- (b)  $-(C_1-C_{10} \text{ unsubstituted alkyl})-NHC(O)R^D$ , wherein  $R^D$  is  $(C_1-C_{17})$  unsubstituted alkyl or  $(C_2-C_{17})$  unsubstituted alkenyl;
- (c)  $-(C_1-C_{18})$ -alkyl, optionally substituted with up to one hydroxyl, carboxyl, or  $C_1-C_3$  alkoxy, or one to three halo substituents;
- (d)  $-(C_4-C_{18})$ -unsubstituted alkenyl;

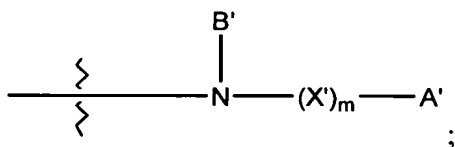


wherein  $R^{54}$  is selected from  $C_1-C_{17}$ -unsubstituted alkyl or  $C_2-C_{17}$ -unsubstituted alkenyl; wherein  $R^{55}$  is selected from hydroxyethyl, hydroxymethyl, mercaptomethyl, mercaptoethyl, methylthioethyl, 2-thienyl, 3-indolemethyl, phenyl optionally substituted with a group selected from halo, nitro,  $C_1-C_3$ -unsubstituted alkyl, hydroxy,  $C_1-C_3$ -unsubstituted alkoxy,  $C_1-C_3$ -unsubstituted alkylthio, carbamyl or  $C_1-C_3$ -unsubstituted alkylcarbamyl; or benzyl optionally substituted with a group selected from halo, nitro,  $C_1-C_3$ -unsubstituted alkyl, hydroxy,  $C_1-C_3$ -unsubstituted alkoxy,  $C_1-C_3$ -unsubstituted alkylthio, carbamyl or  $C_1-C_3$ -unsubstituted alkylcarbamyl; wherein t is 0 or 1 and wherein u is an integer from 1-3; and

when B is H and X is C=O, then X, together with A, does not form a carbamate amino protecting group; [and

when B is H and n is 0, then A is other than  $C_4-C_{14}$  unsubstituted alkyl;]

wherein  $R^1$  is



wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR<sup>X'</sup>, S=O or SO<sub>2</sub>;

wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

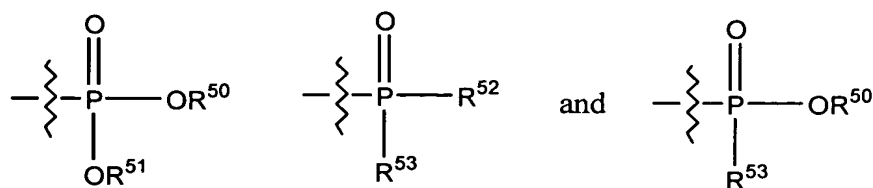
wherein B' is X'''R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

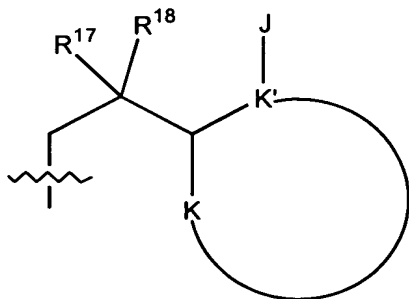
wherein when m is 0, then A' is additionally selected from the group consisting of:



wherein each of R<sup>50</sup>-R<sup>53</sup> is independently selected from C<sub>1</sub>-C<sub>15</sub> alkyl;

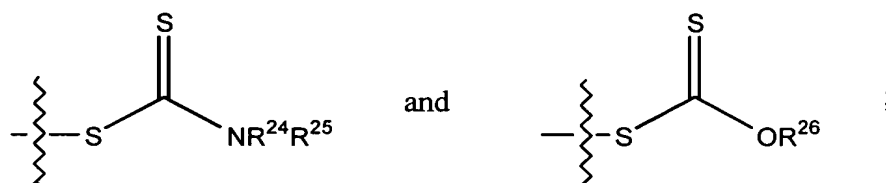
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R<sup>2</sup> is



wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino,  $\text{NHR}^J$ ,  $\text{NR}^J\text{R}^K$ , alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



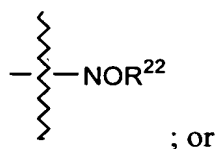
wherein each of  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $\text{R}^{24}$  and  $\text{R}^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $\text{R}^J$  and  $\text{R}^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

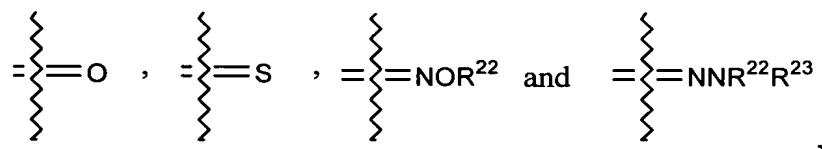
alternatively, wherein J, together with  $\text{R}^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both  $\text{R}^{17}$  and  $\text{R}^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $\text{R}^{17}$  and  $\text{R}^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



wherein  $\text{R}^{17}$  and  $\text{R}^{18}$  taken together can form a group consisting of ketal, thioketal,



wherein each of  $\text{R}^{22}$  and  $\text{R}^{23}$  is independently selected from the group consisting of hydrido and alkyl.



wherein m is 0 or 1;

wherein R<sup>X'</sup> is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

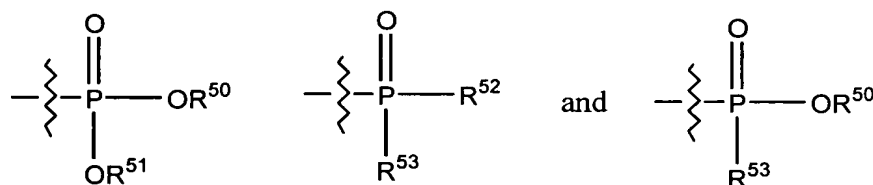
wherein B' is X<sup>'''</sup>R<sup>Y'</sup>, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>Y'</sup> is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH<sub>2</sub>, NHR<sup>A'</sup>, NR<sup>A'</sup>R<sup>B'</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R<sup>A'</sup> and R<sup>B'</sup> are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

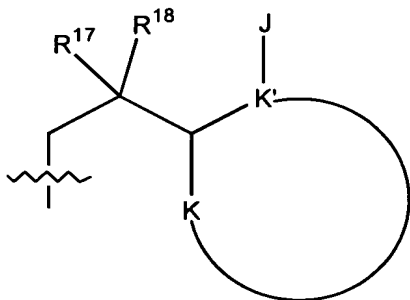
wherein when m is 0, then A' is additionally selected from the group consisting of:



wherein each of R<sup>50</sup>-R<sup>53</sup> is independently selected from C<sub>1</sub>-C<sub>15</sub> alkyl;

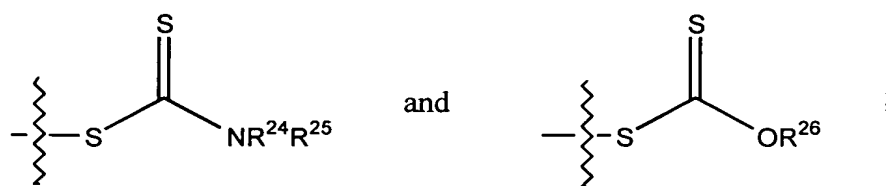
alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R<sup>2</sup> is



wherein K and K' together form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl or heterocyclyl ring or a C<sub>5</sub>-C<sub>10</sub> aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR<sup>J</sup>, NR<sup>J</sup>R<sup>K</sup>, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,



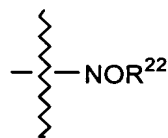
wherein each of  $\text{R}^{24}$ ,  $\text{R}^{25}$ , and  $\text{R}^{26}$  is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or  $\text{R}^{24}$  and  $\text{R}^{25}$  together form a 5-8 membered heterocyclyl ring;

wherein  $\text{R}^J$  and  $\text{R}^K$  are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with  $\text{R}^{17}$ , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

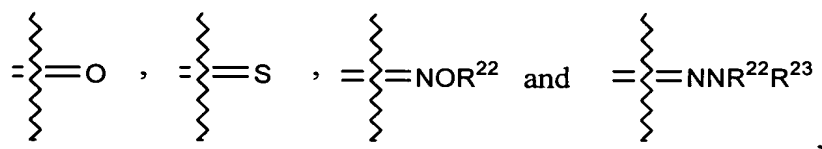
alternatively, wherein J, together with both  $\text{R}^{17}$  and  $\text{R}^{18}$ , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of  $\text{R}^{17}$  and  $\text{R}^{18}$  is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and



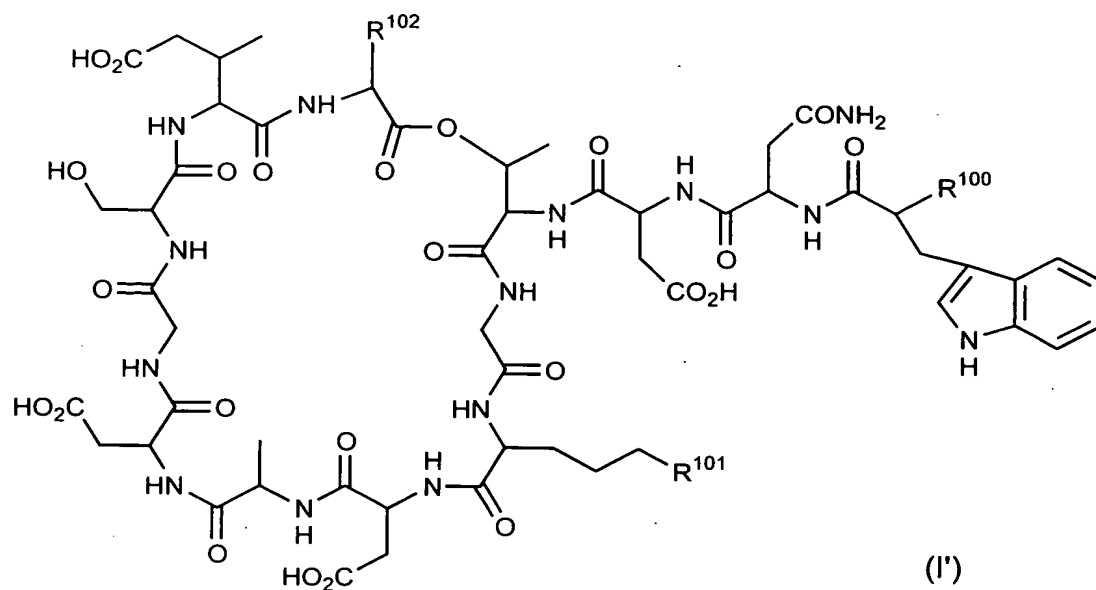
; or

wherein  $\text{R}^{17}$  and  $\text{R}^{18}$  taken together can form a group consisting of ketal, thioketal,



wherein each of  $\text{R}^{22}$  and  $\text{R}^{23}$  is independently selected from the group consisting of hydrido and alkyl.

29. (Amended) A compound having the formula (I):



and salts thereof; wherein  $R^{100}$ ,  $R^{101}$  and  $R^{102}$  are selected from:

Cpd #	[R] $R^{100}$	[R <sup>1</sup> ] $R^{101}$	[R <sup>2</sup> ] $R^{102}$
72		NHBoc	
73		NHBoc	
74		NHBoc	
109	NHCOCHCH(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	NHBoc	
110	NHCOCHCH(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	NHBoc	
111	NHCOCHCH(CH <sub>2</sub> ) <sub>7</sub> CH <sub>3</sub>	NH <sub>2</sub>	
112	NHCOCHCH(CH <sub>2</sub> ) <sub>9</sub> CH <sub>3</sub>	NH <sub>2</sub>	